Figure 1 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
8 9 10 11 12 13 14 15 16 17 18	Sequence & Modification  5'-CCTACTAGCGTTCTCATC-3' (133-1) 5'-CCTACTAGCXTTCTCATC-3' (139-2) 5'-CCTACTAXCGTTCTCATC-3' (139-3) 5'-CCTACTXGCGTTCTCATC-3' (139-3) 5'-CCTACXAGCGTTCTCATC-3' (139-4) 5'-CCTAXTAGCGTTCTCATC-3' (139-4) 5'-CCTXCTAGCGTTCTCATC-3' (133-4) 5'-CCTXCTAGCGTTCTCATC-3' (145-10a) 5'-CCTXCTAGCGXTCTCATC-3' (133-5) 5'-CCTACTAGCGXTCTCATC-3' (139-7) 5'-CCTACTAGCGTXCTCATC-3' (139-7) 5'-CCTACTAGCGTTXTCATC-3' (139-8)	$X = \begin{cases} 3 \\ 0 \\ 0 \\ 5 \\ 0 \end{cases}$ 1',2'-Dideoxyribose
20 21 22 23	5'-CCTXXTAGCGTTCTCATC-3' (133-12) 5'-XXTACTAGCGTTCTCATC-3' (139-6) 5'-CCTACTAGCGTTCXXATC-3' (139-9) 5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	م <del>و</del> سیت.

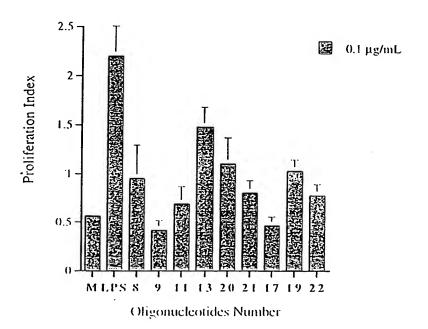


Figure 2 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
8	5'-CCTACTAG <u>CG</u> TTCTCATC-3' (133-1)	
9	5'-CCTACTAGCXTTCTCATC-3' (139-2)	
10	5'-CCTACTAXCGTTCTCATC-3' (133-2)	700
11	5'-CCTACTXGCGTTCTCATC-3' (139-3)	
12	5'-CCTACXAGCGTTCTCATC-3' (133-3)	707
13	5'-CCTAXTAGCGTTCTCATC-3' (139-4)	X = 3
14	5'-CCTXCTAGCGTTCTCATC-3' (133-4)	0
15	5'-CCTXCTAGCCTTCTCATC-3' (145-10a)	0,0
16	5'-CCTACTAGCGXTCTCATC-3' (133-5)	3- 1
17	5'-CCTACTAGCGTXCTCATC-3' (139-7)	1',2'-Dideoxyribose
18	5'-CCTACTAGCGTTXTCATC-3' (133-6)	
19	5'-CCTACTAGCGTTCXCATC-3' (139-8)	
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)	مجسيت.
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)	
22	5'-CCTACTAGCGTTCXXATC-3' (139-9)	
23	5'-CCTXCTXGCGTTCTCATC-3' (145-10b)	

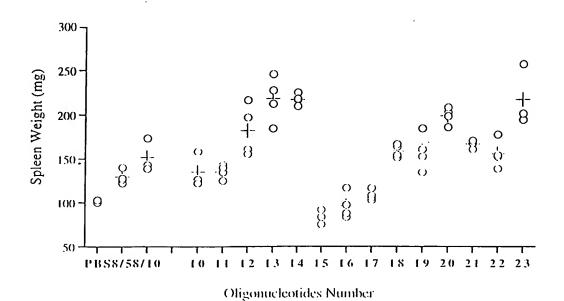


Figure 3 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~ 0
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3'}/
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	<b>^</b> - o
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0=P-0
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	s <sup>o</sup> i
		1',2'-Dideoxyribose

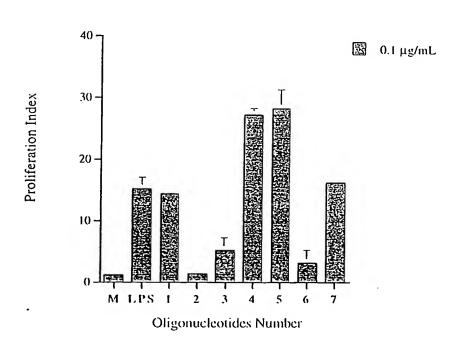


Figure 4 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)	~ o
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)	X = 3'
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)	o o
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)	0=P~0
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)	s <sup>⊖</sup> ≀
		1',2'-Dideoxyribose

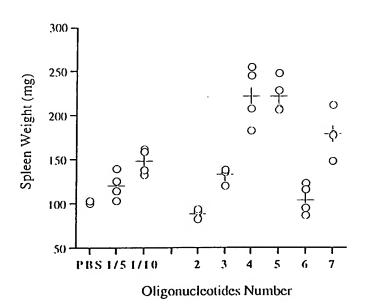


Figure 5 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

	Sequence & Modification	Oligo No.
	5'-CCTACTAGCGTTCTCATC-3'	133-1
	5'-CCTACTAGCXTTCTCATC-3'	141-2
	5'-CCTACTXGCGTTCTCATC-3'	141-3
l ĭ l	5'-CCTAXTAGCGTTCTCATC-3'	141-4
	5'-CCTXXTAGCGTTCTCATC-3'	141-5
X =	5'-XXTACTAGCGTTCTCATC-3'	141-6
	5'-CCTACTAGCGTXCTCATC-3'	141-7
o P	5'-CCTACTAGCGTTCXCATC-3'	141-8
60	5'-CCTACTAGCGTTCXXATC-3'	141-9
C3-Linker		
	5'-CTATCTGACGTTCTCTGT-3'	131-1
	5'-CTATCTGXCGTTCTCTGT-3'	137-2
محمد	5'-CTATCXGACGTTCTCTGT-3'	137-3
	5'-CTAXCTGACGTTCTCTGT-3'	137-4

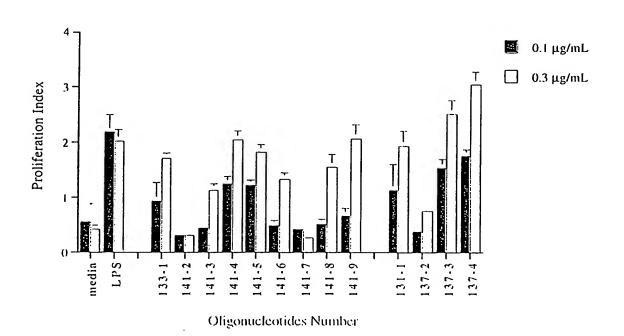


Figure 6 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
133-1	5'-CCTACTAGCGTTCTCATC-3'	
141-2	5'-CCTACTAGCXTTCTCATC-3'	
141-3	5'-CCTACTXGCGTTCTCATC-3'	~0
141-4	5'-CCTAXTAGCGTTCTCATC-3'	l
141-5	5'-CCTXXTAGCGTTCTCATC-3'	
141-6	5'-XXTACTAGCGTTCTCATC-3'	X =
141-7	5'-CCTACTAGCGTXCTCATC-3'	0
141-8	5'-CCTACTAGCGTTCXCATC-3'	0=P-0
141-9	5'-CCTACTAGCGTTCXXATC-3'	s o
		C3-Linker
131-1	5'-CTATCTGACGTTCTCTGT-3'	
137-2	5'-CTATCTGXCGTTCTCTGT-3'	
137-3	5'-CTATCXGACGTTCTCTGT-3'	
137-4	5'-CTAXCTGACGTTCTCTGT-3'	

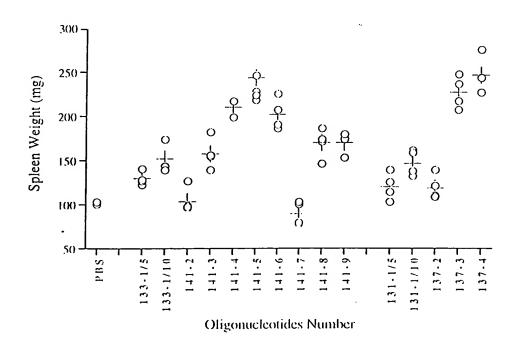


Figure 7 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)	
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)	
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)	
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)	
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)	
6	5'-CCTACTAGCGTTCTCATC-3' (133-1)	
7	5'-CCTXCTAGCGTTCTCATC-3' (155-1)	
8	5'-CCTACTAGCGTTCXCATC-3' (155-2)	
9	5'-CCTYCTAGCGTTCTCATC-3' (155-3)	
10	5'-CCTACTAGCGTTCYCATC-3' (155-4)	
X = NO Spacer9	0 0 0 9 0 9	
Y = POSpacer18	0,00,00,00,00,00,00,00,00,00,00,00,00,0	

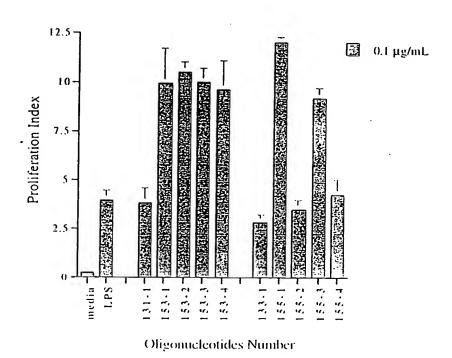


Figure 8 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
3	5'-CTATCTGACGTTCXCTGT-3' (153-2)
4	5'-CTAYCTGACGTTCTCTGT-3' (153-3)
5	5'-CTATCTGACGTTCYCTGT-3' (153-4)
6 7	5'-CCTACTAGCGTTCTCATC-3' (133-1)
•	5'-CCTXCTAGCGTTCTCATC-3' (155-1)
8	5'-CCTACTAGCGTTCXCATC-3' (155-2)
9 10	5'-CCTYCTAGCGTTCTCATC-3' (155-3) 5'-CCTACTAGCGTTCYCATC-3' (155-4)
X = \\( \)(Spacer9	
Y =O	

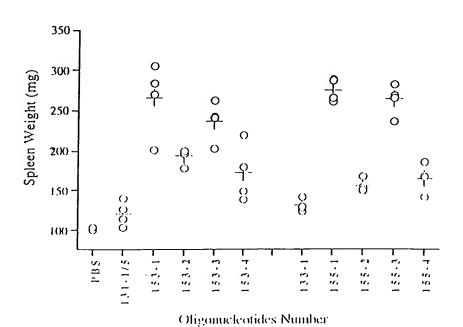


Figure 9 Oligodeoxynucleotides Phosphorothioate and Site of Modification

******	Oligo No.	Sequence & Modification	
	1	5'-CTATCTGACGTTCTCTGT-3' (131-1)	
	2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
	3	5'-CTATCTXACGTTCTCTGT-3' (157-2)	
	4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
	5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
	6	5'-CTATCTGACGTTCXCTGT-3' (157-5)	
		3	
		<b>₹</b>	

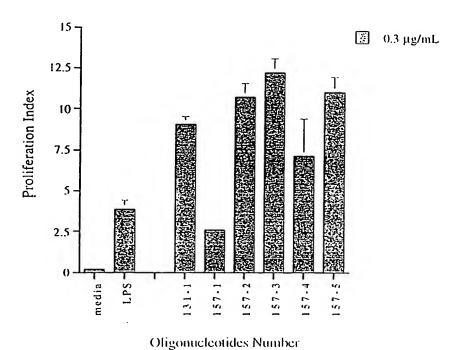


Figure 10 Oligodeoxynucleotides Phosphorothioate and Site of Modification

 Oligo No.	Sequence & Modification	
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)	
2	5'-CTATCTGXCGTTCTCTGT-3' (157-1)	
3	5'-CTATCTXACGTTCTCTGT-3' (157-2)	
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)	
5	5'-CTATCTGACGTXCTCTGT-3' (157-4)	
6	5'-CTATCTGACGTTCXCTGT-3' (157-5)	

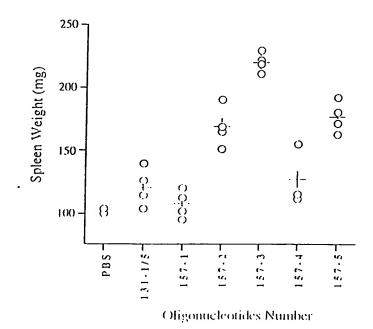


Figure 11 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
159-1	5'-CTATCTGACXTTCTCTGT-3'	~0
159-2	5'-CTATCTGAXGTTCTCTGT-3'	$X = 5. \bigcirc A$
159-3	5'-CTATXTGACGTTCTCTGT-3'	2'
159-4	5'-CTATCTGACGTTCTXTGT-3'	0=2
133-1	5'-CCTACTAGCGTTCTCATC-3'	S <sup>O</sup> (5', O, B
161-1	5'-CCTACTAGCXTTCTCATC-3'	3')/
161-2	5'-CCTACTAGXGTTCTCATC-3'	O <sub>n</sub>
161-3	5'-CCTACTAXCGTTCTCATC-3'	3'-Deoxynucleoside
161-4	5'-CCTAXTAGCGTTCTCATC-3'	
161-5	5'-CCTACTAGCGTTCTXATC-3'	

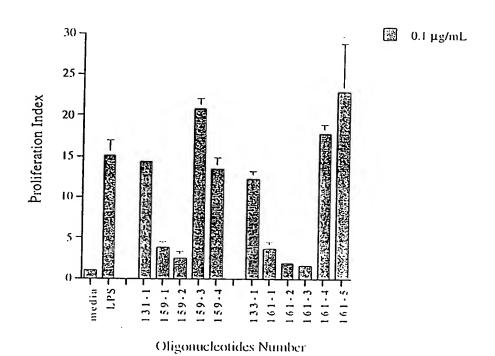


Figure 12 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
159-1	5'-CTATCTGACXTTCTCTGT-3'	~0
159-2	5'-CTATCTGAXGTTCTCTGT-3'	$X = 5' \setminus O \setminus B$
159-3	5'-CTATXTGACGTTCTCTGT-3'	2'
159-4	5'-CTATCTGACGTTCTXTGT-3'	0°P~0
133-1	5'-CCTACTAGCGTTCTCATC-3'	S <sup>O</sup> (5'O B
161-1	5'-CCTACTAGCXTTCTCATC-3'	3.)—,
161-2	5'-CCTACTAGXGTTCTCATC-3'	3'-Deoxynucleoside
161-3	5'-CCTACTAXCGTTCTCATC-3'	
161-4	5'-CCTAXTAGCGTTCTCATC-3'	
161-5	5'-CCTACTAGCGTTCTXATC-3'	

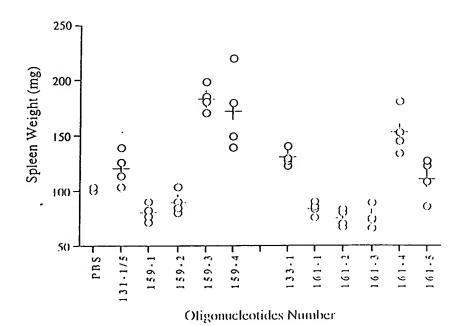


Figure 13 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

131-1 5'-CTATCTGACGTTCTCTGT-3'  167-1 5'-CTATCTGXCGTTCTCTGT-3'	
167-2 5'-CTATCTXACGTTCTCTGT-3'  167-3 5'-CTATCXGACGTTCTCTGT-3'  167-4 5'-CTATXTGACGTTCTCTGT-3'  167-5 5'-CTAXCTGACGTTCTCTGT-3'  167-6 5'-CTXXCTGACGTTCTCTGT-3'  167-7 5'-CTATCTGACGXTCTCTGT-3'  167-8 5'-CTATCTGACGXTCTCTGT-3'  167-9 5'-CTATCTGACGTXCTCTGT-3'	167-2 167-3 167-4 167-5 167-6 167-7
167-10 5'-CTATCTGACGTTCXCTGT-3'	167-10 16711
167-3 5'-CTATCXGACGTTCTCTGT-3'	167-3
167-6 5'-CTXXCTGACGTTCTCTGT-3' Methyl-phosphonate	167-6

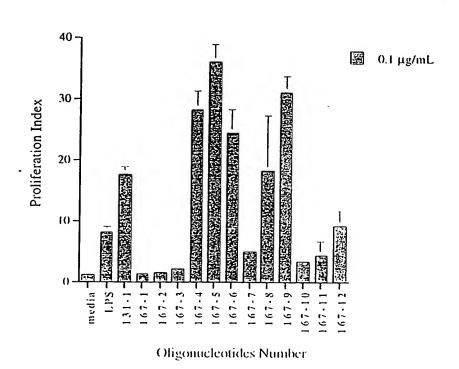
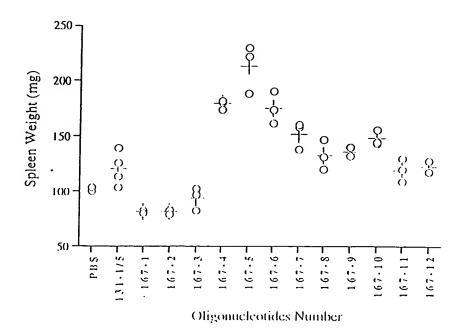


Figure 14 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages



Oligodeoxynucleotide Phosphorothioates and Modification of Linkages Figure 15

Sequence & Modification	
5 <sup>-</sup> TCCATGACGTTCCTGATGC-3 <sup>-</sup>	~0
5'-TCCATGXCGTTCCTGATGC-3'	5' \O \B
5'-TCCAXGACGTTCCTGATGC-3'	3'\{ O OR
5'-TYYATGACGGTCCTGATGC-3'	0=P-0
	5'-TCCATGACGTTCCTGATGC-3' 5'-TCCATGXCGTTCCTGATGC-3' 5'-TCCAXGACGTTCCTGATGC-3'

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonucleoside

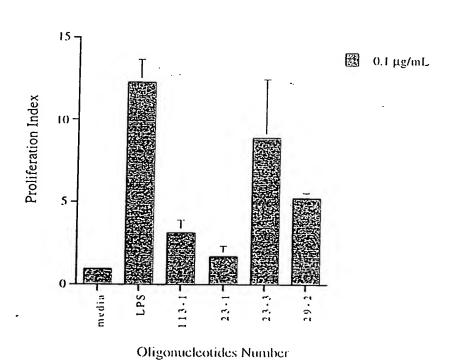


Figure 16 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCTGATGC-3'	~o
23-1	5'-TCCATGXCGTTCCTGATGC-3'	5' \O \B
23-3	5'-TCCAXGACGTTCCTGATGC-3'	3'}{ OR
29-2	5'-TYYATGACGGTCCTGATGC-3'	0=P 0 / 0 5 1

 $R_X = 2$ '-O-Methylribonucleoside Ry = 2'-O-Methoxyethylribonuclesside

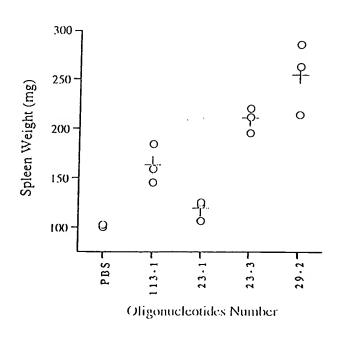


Figure 17 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification		
1	5'-GAGAACGCTCGACCTT-3'		
2	5'-GAGAACGCTCGACCTT-3'5'-GAGAACGCTCGACCTT-3'		
3	3'-TTCCAGCTCGCAAGAG-5'5'-GAGAACGCTCGACCTT-3'		
4	5'-GAGAACGCTCGACCTT-3' 3'-TTCCAGCTCGCAAGAG-5'		
5	5'-T C T C C C A G C G T G C G C C A T-3'		
6	5'-TCCCAGCGTGCGCCAT-3' 5'-TCCCAGCGTGCGCCAT-3'		
7	3'-TACCGCGTGCGACCCT-5' 5'-TCCCAGCGTGCGCCAT-3'		
8	5'-T C C C A G C G T G C G C C A T-3' 3'-T A C C G C G T G C G A C C C T-5'		
	0 5'—3' 0 B 0 5'—5' 0 B 0 O O O O O O O O O O O O O O O O O O O		

→ 5'-3'- direction of CpG motif

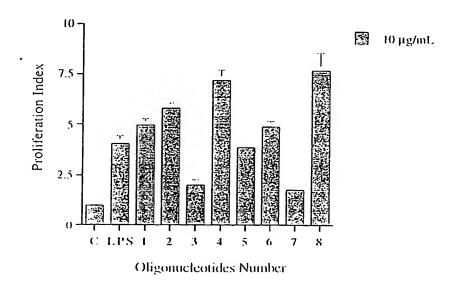


Figure 18 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1	5'-CTATCTGACGTTCTCTGT-3'	
175-1	5'-CTATXTGACGTTCTCTGT-3'	9"
175-2	5'-CTATCTGACGTTCXCTGT-3'	$X = {}^{B} Y^{O} Y$
175-3	5'-CTAXXTGACGTTCTCTGT-3'	
175-4	5'-CTATCTGACGTTCXXTGT-3'	0=P-0
175-5	5'-CTATCTGAXXTTCTCTGT-3'	\$ <sup>©</sup> (5',0,√E
175-6	5'-CTATCTXACGTTCTCTGT-3'	β-L-Deoxynucleoside 3')
175-7	5'-CTATCTGACGTXCTCTGT-3'	O.,
175-9	5'-CTATCTGACGTTCTCTGT-3' (all-β	-L-DNA/PS)

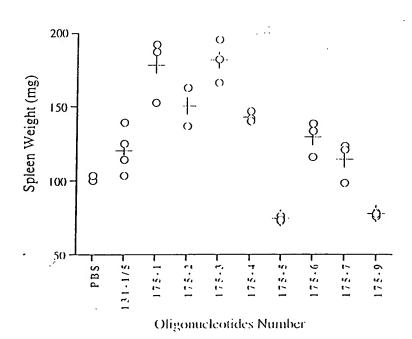


Figure 19 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
131-1		~0
131-1	5'-CTATCTGACGTTCTCTGT-3'	$X = 5' Y^{O} Y^{B}$
173-1	5'-CTATXTGACGTTCTCTGT-3'	3'
173-2	5'-CTATCTGACGTTCTXTGT-3'	O S C CH
		2'-O-Propargyl-ribonucleoside

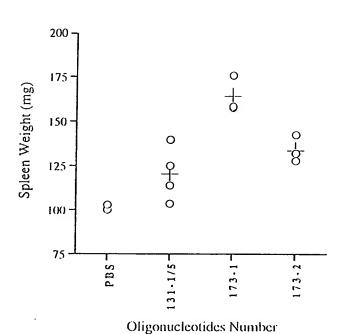


Figure 20 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

5'-CCTACTAGCGTTCTCATC-3'	
5'-CCTXXTAGCGTTCTCATC-3'	
5'-CCTYYTAGCGTTCTCATC-3'	
5'-CCTZZTAGCGTTCTCATC-3'	
5'-CCTXXTAGCGTVCTCATC-3'	
5'-CCTACTAGGCTTCTCATC-3'	
vribose	
	م <del>يدسين</del> .
	5'-CCTXXTAGCGTTCTCATC-3' 5'-CCTYYTAGCGTTCTCATC-3' 5'-CCTZZTAGCGTTCTCATC-3' 5'-CCTXXTAGCGTVCTCATC-3' 5'-CCTACTAG <u>GC</u> TTCTCATC-3'

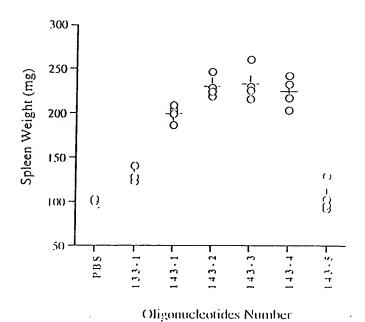


Figure 21 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No	Sequence & Modification
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
2	5'-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 633L)
3	5'-CTATCTGAG*CTTCTCTGT-3' (E 636)
4	5'-TCTCCCAG <u>CG</u> TG <u>CG</u> CCAT-3'
5	5'-TCTCCCAGCG*TGCG*CCAT-3' (E 603)
6	5'-CTATXTGA <u>CG*</u> TTCTCTGT-3' (E 639L)
0 N N <sup>2</sup> dR G* = 7-deaz	$\begin{array}{cccccccccccccccccccccccccccccccccccc$

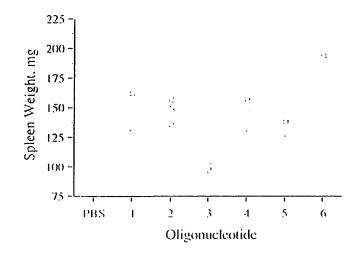


Figure 22 Oligodeoxynucleotide Phosphorothioates and Site of Modification

 Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' (131-1) 5'-CTATCTGA <u>CG*</u> TTCTCTGT-3' (E 682) 5'-CTATCTGA <u>G*C</u> TTCTCTGT-3' (E 683)	N $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$ $N$

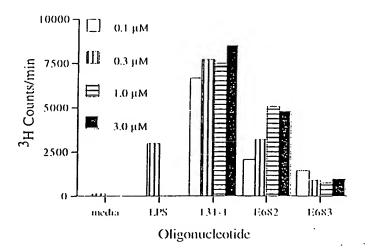


Figure 23 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification		
1	5'-CTATCTGA <u>CG</u> TTCTCTG <sup>3</sup> -3'	NH <sub>2</sub>	NH-CH <sub>2</sub> -CH <sub>3</sub>
2	5'-CTATCTGAC*GTTCTCTGT-3'	HON	N
3	5'-CTATCTGACC*TTCTCTGT-3'	N 0	NO
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR	dR
5	5'-CTATCTGACC**TTCTCTGT-3'	C* = 5-hydroxy-cytosine C*	* = N4-ethyl-cytosine

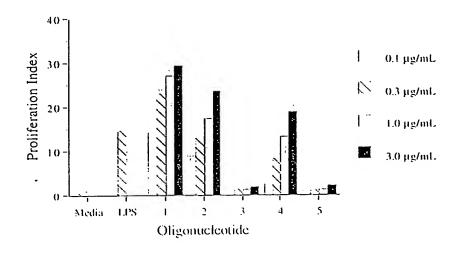


Figure 24 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification		
1	5'-CTATCTGA <u>CG</u> TTCTCTGT-3'	NH <sub>2</sub>	NH-CH <sub>2</sub> -CH <sub>3</sub>
2	5'-CTATCTGAC*GTTCTCTGT-3'	HON	N
3	5'-CTATCTGACC*TTCTCTGT-3'	NO	NO
4	5'-CTATCTGA <u>C**G</u> TTCTCTGT-3'	dR	dR
5	5'-CTATCTGA <u>CC**</u> TTCTCTGT-3'	C* = 5-hydroxy-cytosine C*	* = N4-ethyl-cytosine

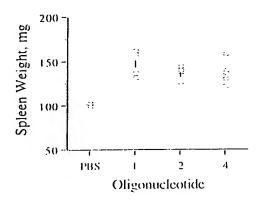


Figure 25 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification	
1 2 3	5'-CTATCTGA <u>CG</u> TTCTCTGT-3' 5'-CTATCTGA <u>C*G</u> TTCTCTGT-3' 5'-CTATCTGA <u>CC*</u> TTCTCTGT-3'	NH <sub>2</sub> N N O HO C* = Ara-C

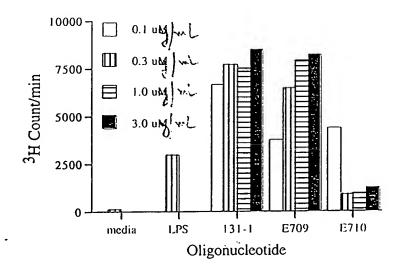


Figure 26 Oligodeoxynucleotide Phosphorothioates and Site of Modification

## Oligo No. Sequence & Modification

- I 5'-CTATCTGACGTTCTCTGT-3' (131-1)
- 2 5'-CTATCTGA<u>C\*G</u>TTCTCTGT-3' (E 647)
- 3 5'-CTATXTGA<u>C\*G</u>TTCTCTGT-3' (E 653)

